

Guidelines for the Use of Antiretroviral Agents in HIV-1-Infected Adults and Adolescents

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Appendix B, Table 2. Characteristics of Non-Nucleoside Reverse Transcriptase Inhibitors* (Last updated February 12, 2013; last reviewed February 12, 2013) (page 1 of 2)

* Delavirdine (DLV) is not included in this table. Please refer to the DLV FDA package insert for related information.

Generic Name (Abbreviation)/ Trade Name	Formulations	Dosing Recommendations (For dosage adjustment in renal or hepatic insufficiency, see Appendix B, Table 7.)	Elimination	Serum Half-Life	Adverse Events (Also see <u>Table 13</u> .)
Efavirenz (EFV)/ Sustiva Also available as a component of fixed-dose combination: Atripla	• 50 and 200 mg capsules • 600 mg tablet (EFV 600 mg	600 mg once daily, at or before bedtime Take on an empty stomach to reduce side effects. 1 tablet once daily, at or	Metabolized by CYPs 2B6 and 3A4 CYP3A4 mixed inducer/inhibitor (more an inducer than an inhibitor)	40–55 hours 41 hours	 Rash^a Neuropsychiatric symptoms^b Increased transaminase levels Hyperlipidemia False-positive results with some cannabinoid and benzodiazepine screening assays reported.
EFV with TDF + FTC Etravirine (ETR)/ Intelence	+ FTC 200 mg + TDF 300 mg) tablet • 25, 100, and 200 mg tablets	before bedtime 200 mg BID Take following a meal.	CYP3A4, 2C9, and 2C19 substrate 3A4 inducer; 2C9		Teratogenic in non-human primates and potentially teratogenic in humans Rash, including Stevens-Johnson syndrome ^a HSRs, characterized by rash,
			and 2C19 inhibitor		constitutional findings, and sometimes organ dysfunction, including hepatic failure, have been reported. • Nausea
Nevirapine (NVP)/ Viramune or Viramine XR Generic available for 200 mg tablets	 200 mg tablet 400 mg XR tablet 50 mg/5 mL oral suspension 	200 mg once daily for 14 days (lead-in period); thereafter, 200 mg BID, or 400 mg (Viramune XR tablet) once daily Take without regard to meals Repeat lead-in period if therapy is discontinued for more than 7 days In patients who develop mild-to-moderate rash without constitutional symptoms, continue lead-in period until rash resolves but not longer than 28 days total.	CYP450 substrate, inducer of 3A4 and 2B6; 80% excreted in urine (glucuronidated metabolites, <5% unchanged); 10% in feces	25–30 hours	 Rash, including Stevens-Johnson syndrome^a Symptomatic hepatitis, including fatal hepatic necrosis, has been reported: Rash reported in approximately 50% of cases Occurs at significantly higher frequency in ARV-naive female patients with pre-NVP CD4 counts >250 cells/mm³ and in ARV-naive male patients with pre-NVP CD4 counts >400 cells/mm³. NVP should not be initiated in these patients unless the benefit clearly outweighs the risk.

Appendix B, Table 2. Characteristics of Non-Nucleoside Reverse Transcriptase Inhibitors* (Last updated February 12, 2013; last reviewed February 12, 2013) (page 2 of 2)

* Delayirdine (DLV) is not included in this table. Please refer to the DLV FDA package insert for related information.

Generic Name (Abbreviation)/ Trade Name	Formulations	Dosing Recommendations (For dosage adjustment in renal or hepatic insufficiency, see Appendix B, Table 7.)	Elimination	Serum Half-Life	Adverse Events (Also see <u>Table 13</u> .)
Rilpivirine (RPV)/ Edurant Also available as a component of fixed-dose combination:	• 25 mg tablet	25 mg once daily Take with a meal	CYP3A4 substrate	50 hours	 Rash^a Depression, insomnia, headache Hepatotoxicity
Complera RPV with TDF + FTC	Complera (RPV 25 mg + TDF 300 mg + FTC 200 mg) tablet	1 tablet once daily with a meal			

Key to Abbreviations: ARV = antiretroviral, BID = twice daily, CYP = cytochrome P, DLV = delavirdine, EFV = efavirenz, ETR = etravirine, FDA = Food and Drug Administration, FTC = emtricitabine, HSR = hypersensitivity reaction, NNRTI = non-nucleoside reverse transcriptase inhibitor, NVP = nevirapine, RPV = rilpivirine, TDF = tenofovir disoproxil fumarate, XR = extended release

a Rare cases of Stevens-Johnson syndrome have been reported with most NNRTIs; the highest incidence of rash was seen with NVP.

^b Adverse events can include dizziness, somnolence, insomnia, abnormal dreams, confusion, abnormal thinking, impaired concentration, amnesia, agitation, depersonalization, hallucinations, and euphoria. Approximately 50% of patients receiving EFV may experience any of these symptoms. Symptoms usually subside spontaneously after 2 to 4 weeks but may necessitate discontinuation of EFV in a small percentage of patients.